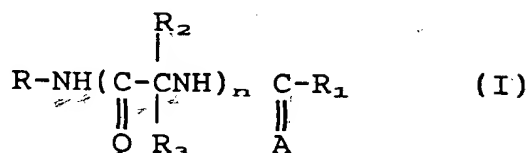


1 WHAT IS CLAIMED IS:

1. A compound of the formula



or the N-oxide thereof or pharmaceutically acceptable salts thereof wherein

R is aryl, aryl lower alkyl, heterocyclic or heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl, lower alkyl, wherein R is unsubstituted or is substituted with at least one electron withdrawing group or an electron donating group;

R₁ is hydrogen or lower alkyl, lower alkenyl, lower alkynyl, aryl lower alkyl, aryl, heterocyclic lower alkyl, heterocyclic, lower cycloalkyl, lower cycloalkyl, lower alkyl, and R₁ is unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent;

R₂ and R₃ are independently hydrogen, ^{lower alkyl} lower alkenyl, lower alkynyl, heterocyclic, heterocyclic lower alkyl, lower alkyl heterocyclic, lower cycloalkyl, lower cycloalkyl lower alkyl, SO₃⁻ or Z-Y wherein R₂ and R₃ may be unsubstituted or substituted with at least one electron withdrawing group or electron donating group;

Z is O, S(O)_n, NR₄, ~~PR₄~~ mercaptoalkyl, alkylthio; or a chemical bond;

Y is hydrogen, lower alkyl, aryl, aryl lower alkyl, lower alkenyl, lower alkynyl, halo, heterocyclic or heterocyclic lower alkyl, cycloalkyl, cycloalkyl lower alkyl and Y may be unsubstituted or substituted with an electron donating group or an electron withdrawing group provided that Z is a chemical bond only when Y is halo; or

1 ZY taken together is $\text{NR}_4\text{NR}_5\text{R}_7$, NR_4OR_5 , ONR_4R_7 ,
 ~~OPR_4R_5 , PR_4OR_5 , SNR_4R_7 , NR_4SR_7 , SPR_4R_5 , PR_4SR_7 , $\text{NR}_4\text{PR}_5\text{R}_6$~~
 ~~$\text{PR}_4\text{NR}_5\text{R}_7$~~ , $\text{NR}_4\text{C} - \text{R}_5$, $\text{SC} - \text{R}_5$, $\text{NR}_4\text{C} - \text{OR}_5$, $\text{SC} - \text{OR}_5$,
 $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{S}(\text{O})_a\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$,
 $\text{NR}_4\text{CMNR}_5\text{COR}_6$ or C-NH_2 ;
 $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{S}(\text{O})_a\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$,
 $\text{NR}_4\text{CMNR}_5\text{COR}_6$ or C-NH_2 ;
 $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{S}(\text{O})_a\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$,
 $\text{NR}_4\text{CMNR}_5\text{COR}_6$ or C-NH_2 ;

10 $\text{NR}_4\text{CMNR}_5\text{COR}_6$ or C-NH_2 ;
 $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{S}(\text{O})_a\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$,
 $\text{NR}_4\text{CMNR}_5\text{COR}_6$ or C-NH_2 ;
 $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{S}(\text{O})_a\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$,
 $\text{NR}_4\text{CMNR}_5\text{COR}_6$ or C-NH_2 ;
 $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{S}(\text{O})_a\text{R}_6$, $\text{NR}_4\text{C} - \text{NR}_5\text{R}_6$,
 $\text{NR}_4\text{CMNR}_5\text{COR}_6$ or C-NH_2 ;

15 R_4 , R_5 and R_6 are independently hydrogen, lower alkyl, aryl, aryl lower alkyl, lower alkenyl, or lower alkynyl, wherein R_4 , R_5 and R_6 may be unsubstituted or substituted with an electron withdrawing group or an electron donating group;
 R_7 is R_6 , COOR_8 or COR_8 ;
 R_8 is hydrogen or lower alkyl or aryl lower alkyl;
 n is 1-4 and
 a is 1-3
 M is a lower alkylene chain, and A and Q are independently O or S with the proviso that at least one of A or Q is S.

25 2. The compound according to Claim 1 wherein A is S.

3. The compound according to Claim 1 wherein A and Q are S.

30 4. The compound according to Claim 1 wherein one of R_2 and R_3 is H.

5. The compound according to Claim 4 wherein one of R_2 and R_3 is H and the other is heterocyclic.

35 6. The compound according to Claim 5 wherein heterocyclic is furyl, pyrrolyl, pyrazoyl, epoxy, oxazolyl, imidazolyl, tetrazolyl, triazolyl, or oxadiazoyl.

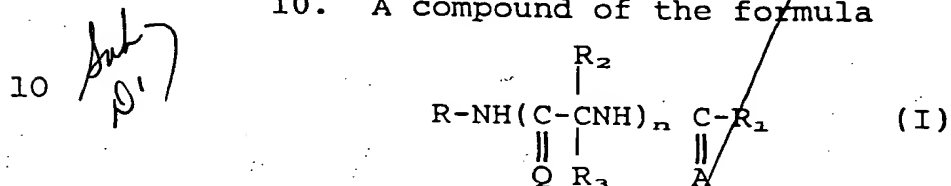
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1 7. The compound according to Claim 6 wherein heterocyclic is furyl, pyrrolyl, pyrazolyl, or pyridyl.

8. The compound according to Claim 1 wherein one of R₂ and R₃ is H and the other is Z-Y.

5 9. The compound according to Claim 8 wherein Z-Y is N,O-dimethylhydroxyamino, N-methylhydroxyamino N-methoxyamino, ethylamino or methylamino or hydrazino.

10. A compound of the formula



or the N-Oxide thereof or pharmaceutically acceptable salts thereof wherein

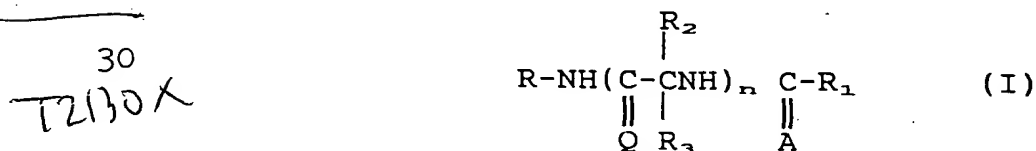
15 R is aryl, aryl lower alkyl, heterocyclic or heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl, lower alkyl, wherein R is unsubstituted or is substituted with at least one electron withdrawing group or an electron donating group;

20 R₁ is hydrogen or lower alkyl and R₁ is unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent;

25 one of R₂ and R₃ is hydrogen, and the other is SO₃⁻.

11. The compound according to Claim 10 wherein O and A are both O.

12. A compound of formula



or the N-Oxide thereof or pharmaceutically acceptable salts thereof wherein

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1 R is aryl, aryl lower alkyl, heterocyclic or
heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl,
lower alkyl, wherein R is unsubstituted or is substituted
with at least one electron withdrawing group or an electron
5 donating group;

R₁ is hydrogen or lower alkyl and R₁ is
unsubstituted or substituted with at least one electron
withdrawing substituent or at least one electron donating
substituent;

10 R₂ and R₃ are independently hydrogen, alkyl, or
Z-Y wherein R₂ and R₃ may be unsubstituted or substituted
with at least one electron withdrawing group or electron
donating group;

Z is S(O)_a, mercaptoalkyl, or alkylthio

15 Y is hydrogen, lower alkyl, aryl, aryl lower
alkyl, lower alkenyl, lower alkynyl, heterocyclic or
heterocyclic lower alkyl, cycloalkyl, cycloalkyl lower
alkyl and Y may be unsubstituted or substituted with an
electron donating group or an electron withdrawing group
20 provided that when Y is halo, Z is a chemical bond; or

ZY taken together is $\text{NR}_4 \begin{array}{c} \diagup \\ \text{C} \\ \parallel \\ \text{O} \end{array} - \text{NR}_5$, $\text{NR}_4 \begin{array}{c} \text{C} \\ \parallel \\ \text{O} \end{array} - \text{NR}_5$,

25 SC R_5 , $\text{NR}_4 \begin{array}{c} \text{C} \\ \parallel \\ \text{O} \end{array} - \text{OR}_5$, $\text{NR}_4 \begin{array}{c} \text{C} \\ \parallel \\ \text{S} \end{array} - \text{NR}_5 \text{R}_6$, $\text{NR}_4 \text{C} \begin{array}{c} \parallel \\ \text{O} \end{array} \text{NR}_5 - \text{C} \begin{array}{c} \parallel \\ \text{A} \end{array} - \text{OR}_6$

$\text{C} \begin{array}{c} \parallel \\ \text{S} \end{array} - \text{NH}_2$ or $\text{NR}_4 \begin{array}{c} \text{C} \\ \parallel \\ \text{O} \end{array} \text{NR}_5 - \text{S(O)}_a \text{R}_6$

30 R₄, R₅ and R₆ are independently hydrogen, lower
alkyl, aryl, aryl lower alkyl, lower alkenyl, or lower
alkynyl, wherein R₄, R₅ and R₆ may be unsubstituted or
substituted with an electron withdrawing group or an
electron donating group;

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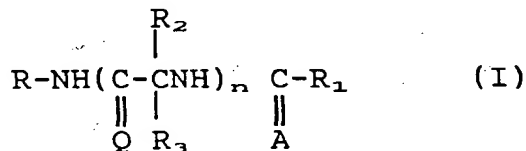
1 n is 1-4 and
a is 1-3

M is lower alkylene, and A and Q are
independently O or S with the proviso that at least one of
5 R₂ and R₃ is Z-Y.

13. The compound of Claim 12 wherein A and Q are
both oxygen.

14. A compound of the formula

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or the N-Oxide thereof or pharmaceutically acceptable salts
thereof wherein

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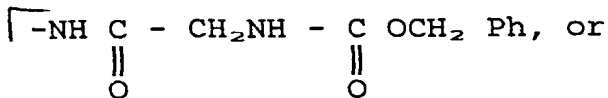
R is aryl, aryl lower alkyl, heterocyclic or
heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl,
lower alkyl, wherein R is unsubstituted or is substituted
with at least one electron withdrawing group or an electron
donating group;

20

R₁ is hydrogen or lower alkyl and R₁ is
unsubstituted or substituted with at least one electron
withdrawing substituent or at least one electron donating
substituent;

25

R₂ and R₃ are independently hydrogen, amino,
pyrrolyl, N, N-dimethylamino, morpholinyl, pyrazinyl,
-NH OCH₃, methylhydroxyamino, (N,O-)dimethylhydroxyamino



or epoxy,

30

and n is 1-4, provided that at least one of R₂ and R₃ is
other than hydrogen

15. The compound according to Claim 14 wherein Q
and A are both O.

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1 16. The compound according to any one of Claims
1-15 wherein n is 1.

a 17. The compound according to any one of Claims
1-15¹⁵ wherein R is lower arylalkyl which is unsubstituted or
5 substituted with an electron donating group or electron
withdrawing group.

18. The compound according to Claim 17 wherein R
is benzyl which is unsubstituted or substituted with an
electron withdrawing group or electron donating group.

10 19. The compound according to Claim 18 wherein R

is unsubstituted benzyl or 

a 12160X 20. The compound according to any of Claims 1-19¹⁵
wherein R₁ is lower alkyl.

15 21. The compound according to Claim 20 wherein
R₁ is methyl.

22. A compound selected from the group
consisting of ethyl 2-acetamido-2-aminoacetate, ethyl 2-
acetamido-2-(methylamino)acetate, ethyl 2-acetamido-2-(N,N-
20 dimethylamino)acetate, ethyl 2-acetamido-2-(4-morpholine)-
acetate, ethyl 2-acetamido-2-(N-anilino)acetate, ethyl 2-
acetamido-2-(N-(3-pyrazolylamino))acetate, ethyl 2-
acetamido-2-(N-hydroxyamino)acetate, ethyl 2-acetamido-2-
(N-(N-methylhydroxyamino))acetate, ethyl 2-acetamido-2-(N-
25 (N,O-dimethylhydroxyamino))acetate, 2-acetamido-N-benzyl-2-
aminoacetamide, 2-acetamido-N-benzyl-2-
(methylamino)acetamide, 2-acetamido-N-benzyl-2-
(ethylamino)acetamide, 2-acetamido-N-benzyl-2-(N-
anilino)acetamide, 2-acetamido-N-benzyl-2-(N-(3-
30 pyrazolylamino))acetamide, 2-acetamido-N-benzyl-2-(N,N-
dimethylamino)acetamide, 2-acetamido-N-benzyl-2-(N-
hydroxyamino)acetamide, 2-acetamido-N-benzyl-2-(N-
hydroxyamino)acetamide, 2-acetamido-N-benzyl-2-(N²-
phenylhydrazino)acetamide, 2-acetamido-N-benzyl-2-(N²-
35 benzyloxycarbonylhydrazino)acetamide, 2-acetamido-N-benzyl-

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- 1 2-phenoxyacetamide, 2-acetamido-N-benzyl-2-(methylmercapto)acetamide, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide, 2-acetamido-N-benzyl-2-(N-methoxyamino)acetamide, 2-acetamido-N-benzyl-2-(N-(N-methylhydroxyamino))acetamide, 2-acetamido-N-benzyl-2-(N-(N,O-dimethylhydroxyamino))acetamide, 2-acetamido-N-benzyl-2-(N-isoxazolidino)acetamide, 2-acetamido-N-benzyl-2-hydroxyacetamide, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide, 2,2-diacetamido-N-benzylacetamide, 2-acetamido-N-benzyl-2-trifluoroacetamidoacetamide, 2-acetamido-N-benzyl-2-(N,N,N-trimethylammonium)acetamide tetrafluoroborate, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide-S-oxide, 2-acetamido-N-benzyl-2-(S-ethylmercapto)acetamide-S-oxide, 2-acetamido-N-benzyl-2-(ethanesulfonyl)acetamide, 2-acetamido-N-benzyl-2-(N,N,N-trimethylammonium)acetamide tetrafluoroborate, 2-acetamido-N-benzyl-2-(1-pyrrole)acetamide, 2-acetamido-N-benzyl-2-(1-imidazole)acetamide, 2-acetamido-N-benzyl-2-(1-pyrazole)acetamide, 2-acetamido-N-benzyl-2(1-(1,2,4-triazole))acetamide, 2-acetamido-N-benzyl-2(1-tetrazole))acetamide, α -acetamido-N-benzyl-2-pyridylacetamide, α -acetamido-N-benzyl-2-pyridyl acetamide N-oxide, α -acetamido-N-benzyl-2-(S-thiophenoxy)-acetamide, α -acetamido-N-benzyl-2-(tetrahydrofuran)acetamide, methyl
- 25 α -acetamido-2-methyl-2-furanacetate, α -acetamido-2-methyl-2-furanacetic acid, α -acetamido-N-benzyl-2-methyl-2-furanacetamide, α -thioacetamido-N-benzyl-2-furanacetamide, α -thioacetamido-N-benzyl-2-furanthioacetamide, α -acetamido-N-(3-pyridinylmethyl)-2-furanacetamide, α -acetamido-N-(4-pyridinylmethyl)-2-furanacetamide, α -acetamido-N-(1-oxo-3-pyridinylmethyl)-2-furanacetamide, α -acetamido-N-(1-oxo-4-pyridinylmethyl)-2-furanacetamide, R(-) α -acetamido-N-(4-fluorobenzyl)-2-furanacetamide, R(-) α -acetamido-N-(4-trifluoromethylbenzyl)-2-furanacetamide,
- 35 methyl[acetamido(benzylcarbamoyl)methyl]carbomate,

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- 1 phenyl[acetamido(benzylcarbamoyl)methyl]carbomate, 1-[acetamido(benzylcarbamoyl)methyl]-3-methylurea], 1-[acetamido(benzylcarbamoyl)methyl]-3-phenylurea], 1-[acetamido(benzylcarbamoyl)methyl]-3-benzenesulfonylurea],
- 5 1-[acetamido(benzylcarbamoyl)methyl]-3-methylthiourea], 1-[acetamido(benzylcarbamoyl)methyl]-3-phenylthiourea], N-[acetamido(benzylcarbamoyl)methyl]phthalamic acid], 2-acetamido-N-benzyl-2-(N-succinimidyl)acetamide], benzyl N-[acetamido(benzylcarbamoyl)methyl]malonamate, ethyl N-
- 10 [acetamido(benzylcarbamoyl)methyl]glycinate, benzyl N-[acetamido(benzylcarbamoyl)methyl]glycinate, N-[acetamido(benzylcarbomoyl)methyl]glycine, 2-acetamide-N-benzyl-2-(1-pyrrole)acetamide, 2-acetamido-N-benzyl-2-(1-pyrazole)acetamide, 2-acetamido-N-benzyl-2-(1-
- 15 imidazole)acetamide, 2-acetamido-N-benzyl-2-(1-(1,2,4-triazole))acetamide, 2-acetamido-N-benzyl-2-(1-tetrazole))acetamide, α -acetamido-N-benzyl-1-(dimethylsulfamoyl)imidazole-4-acetamide, α -acetamido-N-benzyl-4-imidazole acetamide, α -acetamido-N-benzyl-2-
- 20 imidazole acetamide, α -acetamido-N-benzyl-5-(tetrazole)acetamide, α -acetamido-N-benzyl-3-(1,2,4-triazole)acetamide, α -acetamido-N-benzyl-2-(carboxamide oxime)acetamide, α -acetamido-N-benzyl-2-(carboxamide oxime-(O-acetate))-acetamide, α -acetamido-N-benzyl-3-(1,2,4-
- 25 oxadiazole)acetamide, α -acetamido-N-benzyl-2-(thioamide)acetamide), 2-acetamido-N-benzyl-2-vinylacetamide, 2-acetamido-N-benzyl-2-epoxyacetamide, potassium 2-acetamido-N-benzylacetamide-2-sulfonate, 2-acetamido-4-pentenic acid-N-benzylamide, α -acetamido-N-
- 30 benzyl-2-(2-oxazole)-acetamide, and α -acetamido-N-benzyl-2-(2-thiazole)-acetamide.

23. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from any one of Claims 1-15 and 22 and a pharmaceutical carrier

35 therefor.

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1 24. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from Claim 16 and a pharmaceutical carrier therefor.

5 25. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from Claim 17 and a pharmaceutical carrier therefor.

 26. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from Claim 18 and a pharmaceutical carrier therefor.

10 27. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of Claim 19 and a pharmaceutical carrier therefor.

 28. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of Claim 20 and a pharmaceutical carrier therefor.

15 29. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of Claim 21 and a pharmaceutical carrier therefor.

 30. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound according to any one of Claims 1-15 and 22.

20 31. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 16.

 32. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 17.

30 33. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 18.

 34. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 19.

1 35. A method of treating CNS disorders in an
animal comprising administering to said animal an anti-
convulsant effective amount of a compound of Claim 20.

5 36. A method of treating CNS disorders in an
animal comprising administering to said animal an anti-
convulsant effective amount of a compound of Claim 21.

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